

10/599,819

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L1 STRUCTURE UPLOADED

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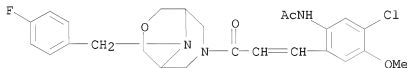
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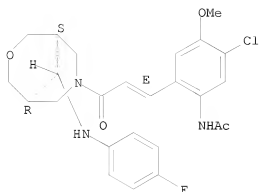
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L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2006:1199252 CAPLUS  
 DOCUMENT NUMBER: 146:176166  
 TITLE: Bridged piperazines and piperidines as CCR1 antagonists with oral activity in models of arthritis and multiple sclerosis  
 AUTHOR(S): Revesz, Laszlo; Bollbuck, Birgit; Buhl, Thomas; Dawson, Janet; Feifel, Roland; Heng, Richard; Hiestand, Peter; Sparrer, Helmut; Schlapbach, Achim; Waelchli, Rudolf; Loetscher, Pius  
 CORPORATE SOURCE: Global Discovery Chemistry, Novartis Institutes for BioMedical Research, Basel, CH-4002, Switz.  
 SOURCE: Letters in Drug Design & Discovery (2006), 3(10), 689-694  
 CODEN: LDDDAW; ISSN: 1570-1808  
 PUBLISHER: Bentham Science Publishers Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB CCR1 antagonists were prepared by coupling bridged piperazines and bridged piperidines with 2-acetyl-amino-4-chloro-5-methoxy cinnamic acid. Compound 2 of the series showed the desired equal potency against human, mouse and rat CCR1 (IC<sub>50</sub> = 20; 22; 28 nM), exhibited a superior pharmacokinetic profile and inhibited the clin. grades in rat acute exptl. autoimmune encephalomyelitis and knee swelling in rat antigen induced arthritis at doses of 2 + 30 and 2 + 15 mg/kg p.o.  
 IT 921208-19-7  
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (bridged piperazines and piperidines as CCR1 antagonists with oral activity in models of arthritis and multiple sclerosis)  
 RN 921208-19-7 CAPLUS  
 CN Acetamide, N-[5-chloro-2-[3-[9-[(4-fluorophenyl)methyl]-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxo-1-propen-1-yl]-4-methoxyphenyl]- (CA INDEX NAME)



IT 868408-72-4 921208-29-9  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (bridged piperazines and piperidines as CCR1 antagonists with oral activity in models of arthritis and multiple sclerosis)  
 RN 868408-72-4 CAPLUS  
 CN Acetamide, N-[5-chloro-2-[(1E)-3-[(9-anti)-9-[(4-fluorophenyl)amino]-3-oxa-7-azabicyclo[3.3.1]non-7-yl]-3-oxo-1-propen-1-yl]-4-methoxyphenyl]- (CA INDEX NAME)

Relative stereochemistry.  
 Double bond geometry as shown.

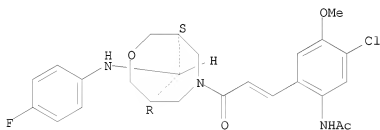


RN 921208-29-9 CAPLUS

CN Acetamide, N-[5-chloro-2-[3-[(9-syn)-9-[(4-fluorophenyl)amino]-3-oxa-7-azabicyclo[3.3.1]non-7-yl]-3-oxo-1-propen-1-yl]-4-methoxyphenyl]- (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry unknown.

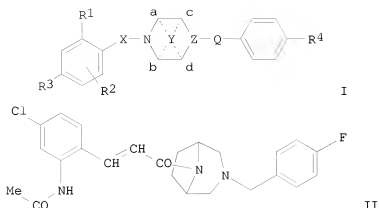


OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2011 ACS on STN  
 ACCESSION NUMBER: 2005:1170489 CAPLUS  
 DOCUMENT NUMBER: 143:440438  
 TITLE: Preparation of bicyclic heterocycles as CCR-1 and  
 MIPIa antagonists useful against inflammatory  
 diseases and as radiolabeled markers for neuroimaging  
 Heng, Richard; Revesz, Laszlo; Schlapbach, Achim;  
 Waelchli, Rudolf  
 INVENTOR(S):  
 PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH  
 SOURCE: PCT Int. Appl., 205 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

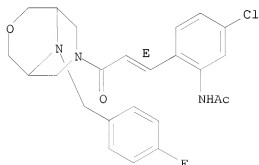
| PATENT NO.  | KIND | DATE                                   | APPLICATION NO.  | DATE        |
|---|------|--|------------------|-------------|
| WO 2005103054   | A2   | 20051103                               | WO 2005-EP4422   | 20050425    |
| WO 2005103054   | A3   | 20070208                               |                  |             |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |      |  |                  |             |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |  |                  |             |
| AU 2005235724   | A1   | 20051103                               | AU 2005-235724   | 20050425    |
| AU 2005235724   | B2   | 20081030                               |                  |             |
| CA 2559917  | A1   | 20051103                               | CA 2005-2559917  | 20050425    |
| AR 52397  | A1   | 20070321                               | AR 2005-101623   | 20050425    |
| EP 1794164  | A2   | 20070613                               | EP 2005-737794   | 20050425    |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, BR, LV, MK, YU   |      |  |                  |             |
| BR 2005010313   | A    | 20071016                               | BR 2005-10313    | 20050425    |
| JP 2007534678   | T    | 20071129                               | JP 2007-508868   | 20050425    |
| RU 2383548  | C2   | 20100310                               | RU 2006-141702   | 20050425    |
| US 20070196270  | A1   | 20070823                               | US 2006-599819   | 20061011    |
| KR 2007014154   | A    | 20070131                               | KR 2006-7022181  | 20061025    |
| KR 845356   | B1   | 20080709                               |                  |             |
| MX 2006012380   | A    | 20070117                               | MX 2006-12380    | 20061026    |
| IN 2006CN03917  | A    | 20070615                               | IN 2006-CN3917   | 20061026    |
| CN 101238131  | A    | 20080806                               | CN 2005-80013239 | 20061026    |
| KR 2008015151   | A    | 20080218                               | KR 2008-7002184  | 20080128    |
| PRIORITY APPLN. INFO.:  |      |  | GB 2004-9236     | A 20040426  |
|   |      |  | WO 2005-EP4422   | W 20050425  |
|   |      |  | KR 2006-7022181  | A3 20061025 |
| OTHER SOURCE(S):  |      | CASREACT 143:440438; MARPAT 143:440438 |                  |             |
| GI  |      |  |                  |             |



- AB Bicyclic heterocycles (shown as I; variables defined below; e.g. (E)-N-[5-Chloro-2-[3-[3-(4-fluorobenzyl)-3,8-diazabicyclo[3.2.1]oct-8-yl]-3-oxopropenyl]phenyl]ethanamide (shown as II)) or a pharmaceutically acceptable salt or ester thereof, were prepared and found to be antagonists of CCR-1 and MIP1 $\alpha$  and claimed useful for treatment of diseases and conditions in which CCR-1 is implicated, e.g. inflammatory diseases. Compds. I are also claimed useful as radiolabeled markers for neuroimaging, e.g. for diagnosis of Alzheimer's disease. Methods of preparation are claimed and .apprx.160 example prepsns. are included. For example, II was prepared in 6 steps (94, 87, 46, 68, 100 and 56 % yields) starting from (E)-3-(2-amino-4-chlorophenyl)-2-propenoic acid Me ester and involving intermediates (E)-3-[2-[(tert-butoxycarbonyl)amino]-4-chlorophenyl]-2-propenoic acid Me ester, (E)-3-[2-[(tert-butoxycarbonyl)amino]-4-chlorophenyl]-2-propenoic acid, 3-(4-fluorobenzyl)-3,8-diazabicyclo[3.2.1]octane/8-(4-fluorobenzyl)-3,8-diazabicyclo[3.2.1]octane, (E)-[5-chloro-2-[3-[3-(4-fluorobenzyl)-3,8-diazabicyclo[3.2.1]oct-8-yl]-3-oxopropenyl]phenyl]carbamic acid tert-Bu ester, and (E)-3-(2-amino-4-chlorophenyl)-1-[3-(4-fluorobenzyl)-3,8-diazabicyclo[3.2.1]oct-8-yl]prop-2-enone. For I: R<sub>1</sub>, R<sub>2</sub> and R<sub>3</sub> = H, cyano, halo, nitro or (un)substituted oxy, C1-7 alkyl, C2-7 alkenyl, C2-7 alkynyl, carbonyl, amino, S, cycloalkyl, heterocycloalkyl, aryl, heteroaryl or a substituent forming a bicyclic ring system of which the Ph ring to which it is attached forms part of the bicycle for example butadiene forming naphthyl, or heterobutadiene forming quinolinyl, quinoxalinyl or isoquinolinyl. R<sub>4</sub> = H, cyano, halo, nitro or (un)substituted oxy, C1-7 alkyl, C2-7 alkenyl, C2-7 alkynyl, carbonyl, amino, S, cycloalkyl, heterocycloalkyl, aryl, heteroaryl or a substituent forming a bicyclic ring system of which the Ph ring to which it is attached forms part of the bicycle for example butadiene forming naphthyl, or heterobutadiene forming quinolinyl, quinoxalinyl or isoquinolinyl. X is -CH<sub>2</sub>CHCO-; Y is -(CH<sub>2</sub>)<sub>n</sub>- where n = 1-6, -CH<sub>2</sub>OCH<sub>2</sub>- or -CH<sub>2</sub>NRCH<sub>2</sub>- and is bonded to two of the ring C atoms, bonding being to either the ring C atoms a and b or the ring C atoms c and d; wherein R = H, (un)substituted; C1-7 alkyl, carbonyl, acyl, acetyl or sulfonyl; Z is N or CH-; Q is -CH<sub>2</sub>-, -NH- or -O-; addnl. details including provisos are given in the claims.
- II 868408-11-1P, (E)-N-[5-Chloro-2-[3-[9-(4-fluorobenzyl)-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxopropenyl]phenyl]ethanamide  
868408-19-9P, (E)-[5-Chloro-2-[3-[9-(4-fluorobenzyl)-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxopropenyl]phenyl]urea

868408-20-2P, N-[5-Chloro-2-[(E)-3-[9-(4-fluorobenzyl)-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxopropenyl]-4-methoxyphenyl]acetamide  
 868408-27-9P, N-[3-Chloro-6-[(E)-3-[9-(4-fluorobenzyl)-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxopropenyl]-2,4-dimethoxyphenyl]acetamide  
 868408-28-0P, N-[3-Chloro-6-[(E)-3-[9-(4-fluorobenzyl)-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxopropenyl]-2-methoxyphenyl]acetamide  
 868408-29-1P, N-[5-Chloro-2-[(E)-3-[9-(4-fluorobenzyl)-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxopropenyl]-4-methoxyphenyl]methanesulfonamide 868408-30-4P,  
 [5-Chloro-2-[(E)-3-[9-(4-fluorobenzyl)-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxopropenyl]-4-methoxyphenyl]urea 868408-32-6P,  
 Cyclopropanecarboxylic acid N-[5-chloro-2-[(E)-3-[9-(4-fluorobenzyl)-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxopropenyl]-4-methoxyphenyl]amide  
 868408-51-9P, N-[5-Chloro-2-[(E)-3-[9-(4-fluorobenzyl)-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxopropenyl]-4-methylphenyl]acetamide  
 868408-53-1P, N-[5-Chloro-2-[(E)-3-[9-(4-fluorobenzyl)-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxopropenyl]-4-(pyrazin-2-yl)phenyl]acetamide 868408-54-2P,  
 N-[5-Chloro-2-[(E)-3-[9-(4-fluorobenzyl)-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxopropenyl]-4-(pyridin-2-yl)phenyl]acetamide  
 868408-67-7P, [5-Chloro-2-[(E)-3-[(1S,5R,9S)-9-[(4-fluorophenyl)amino]-3-oxa-7-azabicyclo[3.3.1]non-7-yl]-3-oxopropenyl]-4-trifluoromethoxyphenyl]urea 868408-71-3P,  
 N-[5-Chloro-2-[(E)-3-[(1S,5R,9S)-9-[(4-fluorophenyl)amino]-3-oxa-7-azabicyclo[3.3.1]non-7-yl]-3-oxopropenyl]-4-trifluoromethoxyphenyl]acetamide 868408-72-4P,  
 N-[5-Chloro-2-[(E)-3-[(1S,5R,9R)-9-[(4-fluorophenyl)amino]-3-oxa-7-azabicyclo[3.3.1]non-7-yl]-3-oxopropenyl]-4-methoxyphenyl]acetamide  
 868547-42-6P, N-[5-Chloro-2-[(E)-3-[(1S,5R,9R)-9-[(4-fluorophenyl)amino]-3-oxa-7-azabicyclo[3.3.1]non-7-yl]-3-oxopropenyl]-4-trifluoromethoxyphenyl]acetamide 868547-44-8P,  
 N-[5-Chloro-2-[(E)-3-[(1S,5R,9S)-9-[(4-fluorophenyl)amino]-3-oxa-7-azabicyclo[3.3.1]non-7-yl]-3-oxopropenyl]-4-methoxyphenyl]acetamide  
 RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate, neuroimaging marker; preparation of bicyclic heterocycles as CCR-1 antagonists)  
 RN 868408-11-1 CAPLUS  
 CN Acetamide, N-[5-chloro-2-[(1E)-3-[9-[(4-fluorophenyl)methyl]-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxo-1-propen-1-yl]phenyl]- (CA INDEX NAME)

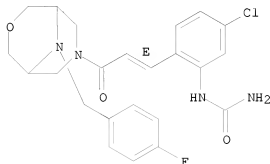
Double bond geometry as shown.



RN 868408-19-9 CAPLUS

CN Urea, N-[5-chloro-2-[(1E)-3-[9-[(4-fluorophenyl)methyl]-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxo-1-propen-1-yl]phenyl]- (CA INDEX NAME)

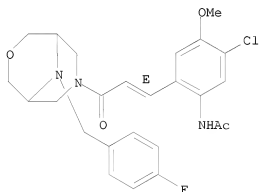
Double bond geometry as shown.



RN 868408-20-2 CAPLUS

CN Acetamide, N-[5-chloro-2-[(1E)-3-[9-[(4-fluorophenyl)methyl]-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxo-1-propen-1-yl]-4-methoxyphenyl]- (CA INDEX NAME)

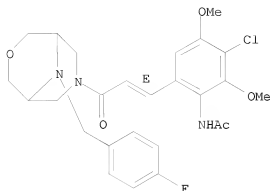
Double bond geometry as shown.



RN 868408-27-9 CAPLUS

CN Acetamide, N-[3-chloro-6-[(1E)-3-[9-[(4-fluorophenyl)methyl]-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxo-1-propen-1-yl]-2,4-dimethoxyphenyl]- (CA INDEX NAME)

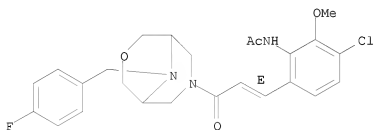
Double bond geometry as shown.



RN 868408-28-0 CAPLUS

CN Acetamide, N-[3-chloro-6-[(1E)-3-[9-[(4-fluorophenyl)methyl]-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxo-1-propen-1-yl]-2-methoxyphenyl]- (CA INDEX NAME)

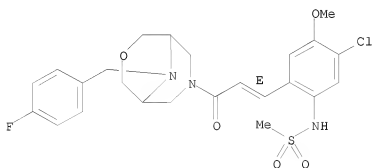
Double bond geometry as shown.



RN 868408-29-1 CAPLUS

CN Methanesulfonamide, N-[5-chloro-2-[(1E)-3-[9-[(4-fluorophenyl)methyl]-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxo-1-propen-1-yl]-4-methoxyphenyl]- (CA INDEX NAME)

Double bond geometry as shown.



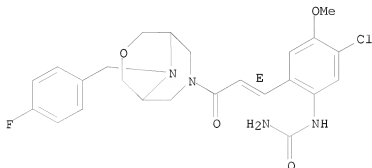
RN 868408-30-4 CAPLUS

CN Urea, N-[5-chloro-2-[(1E)-3-[9-[(4-fluorophenyl)methyl]-3-oxa-7,9-



diazabicyclo[3.3.1]non-7-yl]-3-oxo-1-propen-1-yl]-4-methoxyphenyl]- (CA INDEX NAME)

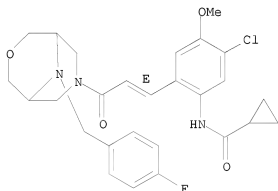
Double bond geometry as shown.



RN 868408-32-6 CAPLUS

CN Cyclopropanecarboxamide, N-[5-chloro-2-[(1E)-3-[9-[(4-fluorophenyl)methyl]-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxo-1-propen-1-yl]-4-methoxyphenyl]- (CA INDEX NAME)

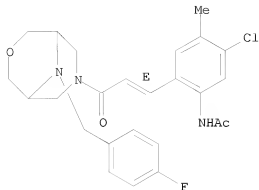
Double bond geometry as shown.



RN 868408-51-9 CAPLUS

CN Acetamide, N-[5-chloro-2-[(1E)-3-[9-[(4-fluorophenyl)methyl]-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxo-1-propen-1-yl]-4-methylphenyl]- (CA INDEX NAME)

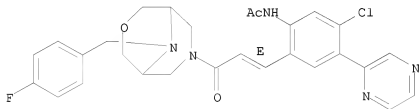
Double bond geometry as shown.



RN 868408-53-1 CAPLUS

CN Acetamide, N-[5-chloro-2-[(1E)-3-[9-[(4-fluorophenyl)methyl]-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxo-1-propen-1-yl]-4-(2-pyrazinyl)phenyl]-  
(CA INDEX NAME)

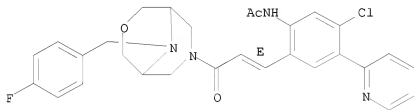
Double bond geometry as shown.



RN 868408-54-2 CAPLUS

CN Acetamide, N-[5-chloro-2-[(1E)-3-[9-[(4-fluorophenyl)methyl]-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxo-1-propen-1-yl]-4-(2-pyridinyl)phenyl]-  
(CA INDEX NAME)

Double bond geometry as shown.

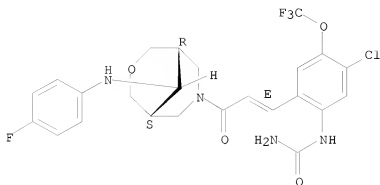


RN 868408-67-7 CAPLUS

CN Urea, N-[5-chloro-2-[(1E)-3-[9-anti-9-[(4-fluorophenyl)amino]-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxo-1-propen-1-yl]-4-(trifluoromethoxy)phenyl]-  
(CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.

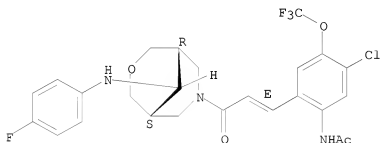


RN 868408-71-3 CAPLUS

CN Acetamide, N-[5-chloro-2-[(1E)-3-[(9-anti)-9-[(4-fluorophenyl)amino]-3-oxa-7-azabicyclo[3.3.1]non-7-yl]-3-oxo-1-propen-1-yl]-4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.

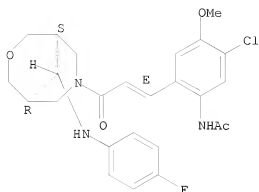


RN 868408-72-4 CAPLUS

CN Acetamide, N-[5-chloro-2-[(1E)-3-[(9-anti)-9-[(4-fluorophenyl)amino]-3-oxa-7-azabicyclo[3.3.1]non-7-yl]-3-oxo-1-propen-1-yl]-4-methoxyphenyl]- (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.

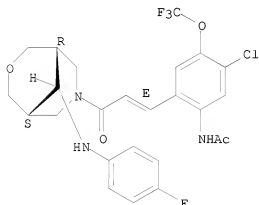


RN 868547-42-6 CAPLUS

CN Acetamide, N-[5-chloro-2-[(1E)-3-[(9-syn)-9-[(4-fluorophenyl)amino]-3-oxa-7-azabicyclo[3.3.1]non-7-yl]-3-oxo-1-propen-1-yl]-4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.

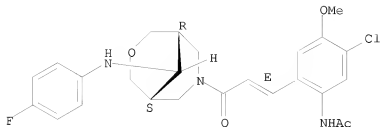


RN 868547-44-8 CAPLUS

CN Acetamide, N-[5-chloro-2-[(1E)-3-[(9-syn)-9-[(4-fluorophenyl)amino]-3-oxa-7-azabicyclo[3.3.1]non-7-yl]-3-oxo-1-propen-1-yl]-4-methoxyphenyl]- (CA INDEX NAME)

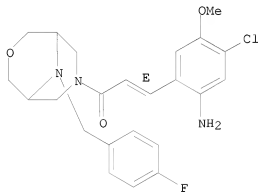
Relative stereochemistry.

Double bond geometry as shown.



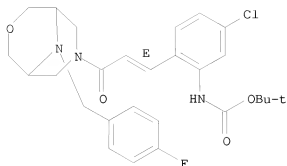
IT 868408-33-7, (E)-3-(2-Amino-4-chloro-5-methoxyphenyl)-1-[9-(4-fluorobenzyl)-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]prop-2-en-1-one  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of bicyclic heterocycles as CCR-1 antagonists)  
 RN 868408-33-7 CAPLUS  
 CN 2-Propen-1-one, 3-(2-amino-4-chloro-5-methoxyphenyl)-1-[9-[(4-fluorophenyl)methyl]-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



IT 868408-12-2P, (E)-[5-Chloro-2-[3-[9-(4-fluorobenzyl)-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxopropenyl]phenyl]carbamic acid tert-butyl ester 868408-13-3P, (E)-3-(2-Amino-4-chlorophenyl)-1-[9-(4-fluorobenzyl)-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]prop-2-en-1-one 868408-70-2P, (E)-3-(2-Amino-4-chloro-5-trifluoromethoxyphenyl)-1-[(1S,5R,9S)-9-[(4-fluorophenyl)amino]-3-oxa-7-azabicyclo[3.3.1]non-7-yl]prop-2-en-1-one 868547-43-7P, (E)-3-(2-Amino-4-chloro-5-trifluoromethoxyphenyl)-1-[(1S,5R,9R)-9-[(4-fluorophenyl)amino]-3-oxa-7-azabicyclo[3.3.1]non-7-yl]prop-2-en-1-one  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of bicyclic heterocycles as CCR-1 antagonists)  
 RN 868408-12-2 CAPLUS  
 CN Carbamic acid, [5-chloro-2-[(1E)-3-[9-[(4-fluorophenyl)methyl]-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-3-oxo-1-propenyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

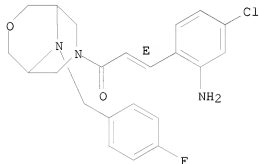
Double bond geometry as shown.



RN 868408-13-3 CAPLUS

CN 2-Propen-1-one, 3-(2-amino-4-chlorophenyl)-1-[9-[(4-fluorophenyl)methyl]-3-oxa-7,9-diazabicyclo[3.3.1]non-7-yl]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

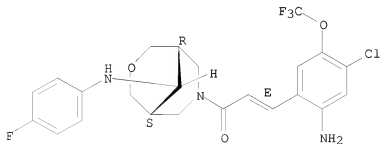


RN 868408-70-2 CAPLUS

CN 2-Propen-1-one, 3-[2-amino-4-chloro-5-(trifluoromethoxy)phenyl]-1-[(9-syn)-9-[(4-fluorophenyl)amino]-3-oxa-7-azabicyclo[3.3.1]non-7-yl]-, (2E)- (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.

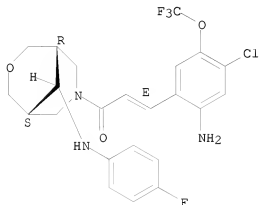


RN 868547-43-7 CAPLUS

CN 2-Propen-1-one, 3-[2-amino-4-chloro-5-(trifluoromethoxy)phenyl]-1-[(9-anti)-9-[(4-fluorophenyl)amino]-3-oxa-7-azabicyclo[3.3.1]non-7-yl]-, (2E)-

(CA INDEX NAME)

Relative stereochemistry.  
Double bond geometry as shown.



|                      |   |   |
|----------------------|---|---|
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| REFERENCE COUNT:     | 5 | THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS<br>RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT |